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* STN Columbus

FILE 'HOME' ENTERED AT 18:56:24 ON 13 APR 2005

=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL **ENTRY** SESSION 0.21 0.21

FULL ESTIMATED COST

 $\alpha \cdot Q_{p}$

FILE 'REGISTRY' ENTERED AT 18:56:39 ON 13 APR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 12 APR 2005 HIGHEST RN 848391-87-7 DICTIONARY FILE UPDATES: 12 APR 2005 HIGHEST RN 848391-87-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

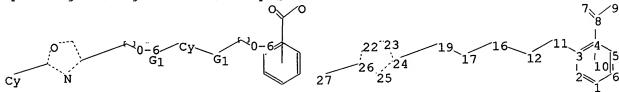
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Program Files\Stnexp\Queries\10789019\10789019a.str



chain nodes :
7 8 9 11 12 16 17 19 27
ring nodes :
1 2 3 4 5 6 22 23 24 25 26
chain bonds :
3-11 7-8 8-9 11-12 12-16 16-17 17-19 19-24 26-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 22-23 22-26 23-24 24-25 25-26
exact/norm bonds :
7-8 8-9 11-12 12-16 16-17 17-19 22-23 22-26 23-24 24-25 25-26 26-27
exact bonds :
3-11 19-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

y),)e

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 16:Atom 17:CLASS 19:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

$$\begin{array}{c} c_{y} \\ c_{y} \end{array}$$

Structure attributes must be viewed using STN Express query preparation.

=> s L1

G1 C,O

SAMPLE SEARCH INITIATED 18:57:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3613 TO ITERATE

27.7% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

68656 TO 75864

PROJECTED ANSWERS:

19 TO 413

L2

3 SEA SSS SAM L1

=> s L1 full

FULL SEARCH INITIATED 18:57:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 72008 TO ITERATE

100.0% PROCESSED 72008 ITERATIONS

115 ANSWERS

SEARCH TIME: 00.00.02

L3

115 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 161.54 161.33

FILE 'CAPLUS' ENTERED AT 18:57:11 ON 13 APR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 13 Apr 2005 VOL 142 ISS 16 FILE LAST UPDATED: 12 Apr 2005 (20050412/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3

L47 L3

=> d L4 1-7 ibib abs hitstr

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:120864 CAPLUS

DOCUMENT NUMBER: 142:219048

TITLE:

Preparation of diphenyl ether derivatives as

PPARδ agonists

INVENTOR(S): Kusuda, Shinya; Nakayama, Yoshisuke; Ima, Masaki;

Tajima, Hisao; Kato, Sachiko

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 134 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

> PATENT NO. KIND DATE APPLICATION NO. DATE _____ ____ ----------20050210 WO 2004-JP11424 WO 2005012221 A1 20040803 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,

SN, TD, TG PRIORITY APPLN. INFO.:

JP 2003-286199 A 20030804

$$A = W = B = X = D = Y - Z$$
 CO_2H
 Me
 Me
 Me
 Me
 Me

AB The title compds. I [wherein rings A, B, and D = independently (un)substituted (hetero)cycle; W = a spacer; X = a spacer; Y = a bond or a spacer; Z = a acid group], or salts, solvates, or prodrugs thereof are prepared as peroxisome proliferator-activated receptors (PPAR) agonists. For example, the compound II was prepared in a multi-step synthesis. II increased HDL level and lowered LDL level in rat. I are useful as a preventive and/or therapeutic agent for diseases caused by sugar/lipid abnormal metabolism (diabetes, hyperlipemia, arteriosclerosis, cardiovascular diseases, obesity, metabolic syndrome, etc.), hypertension, circulatory diseases, inflammatory skin diseases, etc. (no data). Formulations containing I as an active ingredient were also described.

IT 840542-59-8P 840542-63-4P 840542-66-7P 840542-94-1P 840543-25-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of di-Ph ether derivs. as PPAR δ agonists) 840542-59-8 CAPLUS

CN Benzoic acid, 2-[3-[2-[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

F3C
$$CH_2-CH_2-O$$
 HO_2C

RN 840542-63-4 CAPLUS

RN

CN Benzoic acid, 2-[3-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 840542-66-7 CAPLUS

CN Benzoic acid, 3-[3-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 840542-94-1 CAPLUS

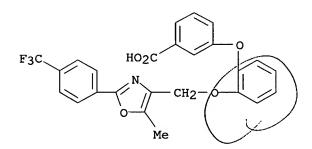
CN Benzoic acid, 3-[2-[2-[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

$$_{\text{Me}}^{\text{F3C}}$$
 $_{\text{HO}_2\text{C}}^{\text{N}}$
 $_{\text{CH}_2-\text{CH}_2-\text{O}}^{\text{N}}$

our N aromatic

RN 840543-25-1 CAPLUS

CN Benzoic acid, 3-[2-[[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:740279 CAPLUS

DOCUMENT NUMBER:

141:260285

TITLE:

Method for producing the enantiomeric forms of

cis-1,3-cyclohexanediol derivatives using an enzymic

resolution

INVENTOR(S):

Holla, Wolfgang; Keil, Stefanie

PATENT ASSIGNEE(S):

Aventis Pharma Deutschland GmbH, Germany

SOURCE:

PCT Int. Appl., 91 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

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KIND
     PATENT NO.
                               DATE
                                           APPLICATION NO.
                                                                  DATE
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    WO 2004076390
                         A1
                               20040910
                                           WO 2004-EP1580
                                                                  20040219
        W: AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,
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                         A1
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     US 2004209931
                         Α1
                               20041021
                                           US 2004-789053
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PRIORITY APPLN. INFO.:
                                           DE 2003-10308350
                                                                  20030227
                                                               Α
                                           US 2003-487416P
                                                               P 20030715
OTHER SOURCE(S):
                        MARPAT 141:260285
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a method for producing chiral, non-racemic, disubstituted cis-1,3-cyclohexanediols I [R1 = R'; A = Ph, 5- to 10-membered heteroarom. (containing N, O, S), C8-14-aromatic, C3-8-cycloalkyl;

= H, F, Cl, Br, OH, NO2, CF3, OCF3, C1-6-alkyl, C3-8-cycloalkyl, Ph; R4, R5 = H, F, C1, Br, OH, NO2, CF3, OCF3, OCF2C, OCF2CF3, OCF2CHF2, SCF3, OPh, C1-6-alkyl, O-(C1-6-alkyl), O-(C1-6-alkyl)-O-(C1-3-alkyl); n = 1 - 3; R2 = C1-8-alkyl, optionally, one or more CH2 may be replaced with an O, CO, S, SO, SO2 and substituted with 1 - 3 substituents (F, Cl, Br, CF3, Cn, NO2, NHAc, NHBoc, NHCOCMe3, OH, OCF3, O-(C1-6-alkyl), CO2H, CO2CH2Ph, CO2-(C1-6-alkyl), tetrazole, indole, (un)substituted thiazolidine-2,4dione, C6-10-aryl }, or, protecting group (PG) {e.g., CH2OCH2Ph, CH2Ph, CH2C6H4OMe-p, SiMe2CMe3}] using an enzymic resolution of racemates. The preparation of chiral cis-I is characterized by: (a) alkylation of (\pm) -cis-1,3-cyclohexanediol with R2X1 [X1 = Cl, Br, I, OSO2Me (OMs), OSO2C6H4Me-p (OTs), OSO2CF3 (OTf)] in the presence of a base and a suitable solvent; (b) stereoselective, enzymic resolution of (\pm) -cis-I (R1 = H) with an acyl donor, R6Cl or (R6)20 [R6 = C(:0)-(Cl-16-alkyl),C(:0)-(C2-16-alkenyl), C(:0)-(C3-16-alkynyl), C(:0)-(C3-16-cycloalkyl), optionally one or more CH2 may be replaced with O substituted with 1-3substituents {F, Cl, Br, CF3, CN, NO2, OH, OMe, OEt, Ph, CO2-(C1-4-alkyl), CO2-(C2-4-alkenyl))], in an organic solvent containing an enzyme; (c) chemical hydrolysis of chiral cis-I (R1 = R6); (d) alkylation of chiral cis-I (R1 = H) with oxazole II (X2 = Cl, Br, I, OTs, OMs, OTf) in the presence of a base and a suitable solvent. Alternatively chiral cis-I is prepared by: (a) alkylation of (\pm) -cis-1,3-cyclohexanediol with PG-X1 [X1 = Cl, Br, I, OMs, OTs, OTf] in the presence of a base and a suitable solvent; (b) stereoselective, enzymic resolution of (\pm) -cis-I (R1 = H, R2 = PG) with an acyl donor, R6Cl or (R6)2O, in an organic solvent containing an enzyme; (c) chemical

hydrolysis of chiral cis-I (R1 = R6, R2 = PG); (d) alkylation of chiral cis-I (R1 = H; R2 = PG) with oxazole II (X2 = Cl, Br, I, OTs, OMs, OTf) in the presence of a base and a suitable solvent (e) deprotecting chiral cis-I (R2 = PG); (f) alkylation of chiral cis-I (R2 = H) with R2X1 in the presence of a base and a suitable solvent. Thus, cyclohexanediol derivative

GI

R3

II was prepared from (\pm) -cis-1,3-cyclohexanediol via alkylation with Me 2-(bromomethyl)-6-methylbenzoate in NMP containing KOCMe3, enzymic resolution with vinyl acetate in CH2Cl2 containing Novozym 435, alkylation of the resulting chiral (benzyloxy)cyclohexanol III with (iodomethyl)oxazole IV, and saponification with NaOH in EtOH.

IT 501362-77-2P 710281-33-7P 710281-37-1P 710281-48-4P 755030-33-2P 755030-34-3P

RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn of the enantiomeric forms of cis-1,3-cyclohexanediol derivs. using an enzymic resolution)

RN 501362-77-2 CAPLUS

CN Benzoic acid, 2-[[((1R,3S)-3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-33-7 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(3-methoxyphenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-37-1 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1R,3S)-3-[[5-methyl-2-(3-methylphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-48-4 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1R,3S)-3-[[5-methyl-2-(4-methylphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

755030-23-0 CAPLUS

Benzoic acid, 2-methyl-6-[[[(1R,3S)-3-[[5-methyl-2-(4-methylphenyl)-4-CN oxazolyl]methoxy]cyclohexyl]oxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 755030-27-4 CAPLUS

Benzoic acid, 2-methyl-6-[[[(1R,3S)-3-[[5-methyl-2-(3-methylphenyl)-4oxazolyl]methoxy]cyclohexyl]oxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

3

ACCESSION NUMBER:

2004:740108 CAPLUS

DOCUMENT NUMBER:

141:260734

TITLE:

Preparation of diarylcycloalkyl oxazole derivatives

and their use in the treatment of, e.g., fatty acid

metabolism

INVENTOR(S):

Goerlitzer, Jochen; Glombik, Heiner; Falk, Eugen; Gretzke, Dirk; Keil, Stefanie; Schaefer, Hans-Ludwig;

Stapper, Christian; Wendler, Wolfgang

PATENT ASSIGNEE(S):

Aventis Pharma Deutschland GmbH, Germany

SOURCE:

PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GI

P.	PATENT NO.			KIND DATE				APPLICATION NO.											
		2004075815 0 2004075815					WO 2004-EP1584					20040219							
•••			AE, BG,	AE, BR,	AG, BR,	AL, BW,	AL, BY,	AM, BY, DE,	AM, BZ,	BZ,	CA,	CH,	CN,	CN,	co,	CO,	CR,	CR,	
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			GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG									
U	DE 10308353 A1 US 2004204462 A1 RIORITY APPLN. INFO.:								US 2		7890	19		2	0030; 0040; 0030;	227			
OTHER	THER SOURCE(S): MARPAT				РΑΨ	141::	2607		US 2	003-	4949	11P	1	P 2	0030	813			

OTHER SOURCE(S): MARPAT 141:260734

AB Title compds. I [A = cycloalkanediyl, cycloalkenediyl, etc.; B = Ph, heterocyclic, etc.; R1 = SCF3, OCF2-CHF2, phenoxy, etc.; R2 = H, CF3; R3 = H, alkyl; R4 = Ph, H, F, C1, Br, etc.; R5 = H, F, C1, Br, OH, etc.; X, Y = alkanediyl, etc.] are prepared For instance, 2-Methyl-6-[(((1R,3S)-3-((5methyl-2-(naphthalen-2-yl)oxazol-4-yl)methoxy)cyclohexyl)oxy)methyl]benzoi c acid (II) is prepared in 7 steps using naphthalene-2-carboxaldehyde, diacetylmonooxime, 1,3-cyclohexanediol and 2-bromomethyl-6-methylbenzoic acid Me ester. II has an EC50 = 0.2 nM for the PPAR α receptor. I are useful for treating disorders of the fatty acid metabolism and glucose utilization in addition to disorders of insulin resistance.

IT **755016-26-3P**, 2-[(((1R,3S)-3-((2-(3-Fluoro-5trifluoromethylphenyl)-5-methyloxazol-4-yl)methoxy)cyclohexyl)oxy)methyl]-6-methylbenzoic acid methyl ester

RN 755016-32-1 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[(2-cyclohexyl-4-oxazolyl)methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

TT 755016-11-6P, 2-Methyl-6-[(((1R,3S)-3-((5-methyl-2-(naphthalen-2-yl)oxazol-4-yl)methoxy)cyclohexyl)oxy)methyl]benzoic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of diarylcycloalkyl oxazole derivs. and their use in treatment of, e.g., fatty acid metabolism)

RN 755016-11-6 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1R,3S)-3-[[5-methyl-2-(2-naphthalenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:513338 CAPLUS

DOCUMENT NUMBER:

141:71532

TITLE:

Method for producing diaryl cycloalkyl derivatives of

oxazole and the use thereof as PPAR activators

INVENTOR(S):

Glombik, Heiner; Falk, Eugen; Frick, Wendelin; Keil,

Stefanie; Schafer, Hans-Ludwig; Schwink, Lothar;

Wendler, Wolfgang

PATENT ASSIGNEE(S):

Germany

SOURCE:

U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S.

Ser. No. 231,432.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
US 2004122069	A1	20040624	US 2003-631867		20030801
DE 10142734	A1	20030327	DE 2001-10142734		20010831
DE <u>102232</u> 73	A1	20031204	DE 2002-10223273		20020524
US 2003144332	A1	20030731	US 2002-231432		20020830
/ US 6624185 /	B2	20030923			
ZA 2004001673	A	20040826	ZA 2004-1073		20040210
PRIORITY APPLN. INFO.:			DE 2001-10142734	Α	20010831
			DE 2002-10223273	Α	20020524
			US 2002-231432	A2	20020830

OTHER SOURCE(S):

MARPAT 141:71532

GI

$$R^{1}$$
 R^{2}
 N
 $X-Z-Y$
 R^{5}
 OR^{3}

I

II

Title oxazoles I [Z = cycloalkyl; R1, R2, R4, R5 = H, F, C1, Br, etc.; R3 = H, Me; X, Y = alkyl (chains may contain 1 or more oxygens)] are prepared Thus, (+)-cis-oxazole II was prepared from cyclohexane-1,3-diol via O-alkylation with 4-(Iodomethyl)-2-(4-fluorophenyl)oxazole, separation of cis/trans isomers, HPLC resolution of the cis isomers, and finally alkylation of the (-)-cis isomer with Me 2-(bromomethyl)-6-methylbenzoate. The compds. have lipid and/or triglyceride reducing properties and are suitable e.g. for treating lipid metabolic disorders, type II diabetes and syndrome X. The bioactivity of II was determined [EC50 = 0.3 nM vs. PPAR α].

TT 710281-44-0P, 2-[[(1R,3S)-3-[[2-(3-Bromophenyl)-5-methyloxazol-4-yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(method for producing diaryl cycloalkyl derivs. of oxazole and the use thereof as PPAR activators)

RN 710281-44-0 CAPLUS

Absolute stereochemistry.

IT 710281-30-4P, Methyl 2-[[[(1R,3S)-3-[(2-(3-Fluorophenyl)-5methyloxazol-4-yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoate 710281-32-6P, 2-[[[(1R,3S)-3-[[2-(3-Fluorophenyl)-5-methyloxazol-4yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid **710281-33-7P**, 2-[[(1R,3S)-3-[(2-(3-Methoxyphenyl)-5-methyloxazol-4-yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid **710281-34-8P**, 2-[[[(1R,3S)-3-[[2-(3-Trifluoromethylphenyl)-5methyloxazol-4-yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid 710281-35-9P, 2-[[[(1R,3S)-3-[[2-(3-Chlorophenyl)-5-methyloxazol-4yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid 710281-36-0P, 2-[[[(1R,3S)-3-[[2-(4-Chlorophenyl)-5-methyloxazol-4yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid 710281-37-1P, 2-[[((1R,3S)-3-[[2-(3-Methylphenyl)-5-methyloxazol-4yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid 710281-38-2P, 2-[[(1R,3S)-3-[[2-(3,4-Dimethylphenyl)-5methyloxazol-4-yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid 710281-39-3P, 2-[[[(1R,3S)-3-[[2-(2,4-Dimethylphenyl)-5methyloxazol-4-yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid 710281-40-6P, 2-[[[(1R,3S)-3-[[2-(2-Methylphenyl)-5-methyloxazol-4yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid 710281-41-7P, 2-[[[(1R,3S)-3-[[2-(3-Trifluoromethoxyphenyl)-5methyloxazol-4-yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid 710281-42-8P, 2-[[[(1R,3S)-3-[[2-(3,4-Dimethoxyphenyl)-5methyloxazol-4-yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid 710281-43-9P, 2-[[[(1R,3S)-3-[[2-(3-Cyanophenyl)-5-methyloxazol-4yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid 710281-45-1P, 2-Methyl-6-[[[(1R,3S)-3-[(5-methyl-2-phenyloxazol-4yl)methoxy]cyclohexyl]oxy]methyl]benzoic Acid 710281-46-2P, 2-Methyl-6-[[[(1S,3R)-3-[(5-methyl-2-phenyloxazol-4yl)methoxy]cyclohexyl]oxy]methyl]benzoic Acid 710281-48-4P, 2-Methyl-6-[[((1R,3S)-3-[(5-methyl-2-(p-tolyl))oxazol-4yl)methoxy]cyclohexyl]oxy]methyl]benzoic Acid 710281-49-5p, 2-Methyl-6-[[(1S,3R)-3-[(5-methyl-2-(p-tolyl)oxazol-4yl)methoxy]cyclohexyl]oxy]methyl]benzoic Acid 710281-50-8P, 2-[[(1R,3S)-3-[(2-(4-Methoxyphenyl)-5-methyloxazol-4yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid **710281-51-9P**, 2-[[(1S,3R)-3-[(2-(4-Methoxyphenyl)-5-methyloxazol-4-yl]methoxy]cyclohexyl]oxy]methyl]-6-methylbenzoic Acid **710281-56-4P**, 2-Methyl-6-[[(1s,4R)-4-((5-methyl-2-phenyloxazol-4yl)methoxy)cyclopent-2-enyl]oxy]methyl]benzoic Acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(method for producing diaryl cycloalkyl derivs. of oxazole and the use thereof as PPAR activators) 710281-30-4 CAPLUS

RN 710281-30-4 CAPLUS CN Benzoic acid, 2-[[[(1R.3S

Benzoic acid, 2-[[[(1R,3S)-3-[[2-(3-fluorophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl-, methyl ester (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

RN 710281-32-6 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(3-fluorophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-33-7 CAPLUS

CN Benzoic acid, 2-[[((1R,3S)-3-[[2-(3-methoxyphenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-34-8 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1R,3S)-3-[[5-methyl-2-[3-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-35-9 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(3-chlorophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-36-0 CAPLUS

CN Benzoic acid, 2-[[((1R,3S)-3-[[2-(4-chlorophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-37-1 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1R,3S)-3-[(5-methyl-2-(3-methylphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-38-2 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(3,4-dimethylphenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-39-3 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(2,4-dimethylphenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-40-6 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1R,3S)-3-[[5-methyl-2-(2-methylphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-41-7 CAPLUS

CN Benzoic acid, 2-methyl-6-[[((1R,3S)-3-[(5-methyl-2-[3-(trifluoromethoxy)phenyl]-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-42-8 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(3,4-dimethoxyphenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-43-9 CAPLUS

CN Benzoic acid, 2-[[((1R,3S)-3-[[2-(3-cyanophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-45-1 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1R,3S)-3-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-46-2 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1S,3R)-3-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-48-4 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1R,3S)-3-[[5-methyl-2-(4-methylphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-49-5 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1S,3R)-3-[[5-methyl-2-(4-methylphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-50-8 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(4-methoxyphenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-51-9 CAPLUS

CN Benzoic acid, 2-[[[(1S,3R)-3-[[2-(4-methoxyphenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 710281-56-4 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1S,4R)-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]-2-cyclopenten-1-yl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Benzoic acid, 2-methyl-6-[[[(1S,4R)-4-[(5-methyl-2-phenyl-4oxazolyl)methoxy]-2-cyclopenten-1-yl]oxy]methyl]-, methyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

TT 501362-02-3P 501362-03-4P 501362-06-7P 501362-09-0P 501362-12-5P 501362-15-8P 501362-16-9P 501362-21-6P 501362-27-2P 501362-28-3P 501362-29-4P 501362-30-7P 501362-31-8P 501362-38-5P 501362-39-6P 501362-43-2P 501362-45-4P 501362-46-5P 501362-47-6P 501362-48-7P 501362-53-4P 501362-50-1P 501362-52-3P 501362-53-4P 501362-54-5P 501362-55-6P 501362-58-9P 501362-59-0P 501362-60-3P 501362-67-0P 501362-70-5P 501362-73-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and PPAR activating activity of; preparation of oxazole diaryl cycloalkyl derivs. and the use thereof as PPAR activators)

RN 501362-02-3 CAPLUS

CN

Benzoic acid, 2-[[[3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclohexyl]ox y]methyl]-6-methyl- (9CI) (CA INDEX NAME)

$$CH_2-O$$
 CH_2
 CO_2H

RN 501362-03-4 CAPLUS

CN Benzoic acid, 2-[[[(1S,3R)-3-[[2-(4-methoxyphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501362-06-7 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1S,3R)-3-[(2-phenyl-4-oxazolyl)methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501362-09-0 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1S,3R)-3-[[2-(4-methylphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501362-12-5 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(4-fluorophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501362-15-8 CAPLUS

CN Benzoic acid, 5-[[[(1R,3S)-3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-2-methyl-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 501362-16-9 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-5-methyl-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 501362-21-6 CAPLUS

CN Benzoic acid, 2-[[[(1R,3R)-3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 501362-27-2 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1R,2R)-2-[(2-phenyl-4-oxazolyl)methoxy]cyclohexyl]oxy]methyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 501362-28-3 CAPLUS

CN Benzoic acid, 2-[[[4-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclohexyl]ox y]methyl]-6-methyl- (9CI) (CA INDEX NAME)

RN 501362-29-4 CAPLUS

CN Benzoic acid, 2-[[[4-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]-2-cyclopenten-1-yl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

RN 501362-30-7 CAPLUS

CN Benzoic acid, 2-[[[5-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclooctyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

F
$$O-CH_2-O$$
 $O-CH_2-O$ $O-CH_2-$

RN 501362-31-8 CAPLUS

CN Benzoic acid, 2-[[[(1R,2R)-2-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclooctyl]oxy]methyl]-6-methyl-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 501362-38-5 CAPLUS

CN Benzoic acid, 2-[2-[(1R,3R)-3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclohexyl]ethyl]-6-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 501362-39-6 CAPLUS

CN Benzoic acid, 2-[2-[(1R,3S)-3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclohexyl]ethyl]-6-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 501362-43-2 CAPLUS

CN Benzoic acid, 2-[[[3-[[2-(4-bromophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Br
$$CH_2-O$$
 $O-CH_2$ Me CO_2H

RN 501362-45-4 CAPLUS

CN Benzoic acid, 2-[[[3-[[2-(3-fluorophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME).

$$_{\text{F}}$$
 $_{\text{O}}$ $_{\text{CH}_2-\text{O}}$ $_{\text{CO}_2\text{H}}$ $_{\text{CO}_2\text{H}}$

RN 501362-46-5 CAPLUS

CN Benzoic acid, 2-[[[3-[[2-(3-methoxyphenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

MeO
$$CH_2-O$$
 $O-CH_2$ CO_2H

RN 501362-47-6 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[3-[[5-methyl-2-[3-(trifluoromethyl)phenyl]-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

$$_{\mathrm{F3C}}$$
 $_{\mathrm{O}}$ $_{\mathrm{CH_2-O}}$ $_{\mathrm{CO_2H}}$ $_{\mathrm{Me}}$

RN 501362-48-7 CAPLUS

CN Benzoic acid, 2-[[[3-[[2-(3-chlorophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

$$C1$$
 O
 CH_2
 O
 CH_2
 O
 CO_2H
 O

RN 501362-49-8 CAPLUS

CN Benzoic acid, 2-[[[3-[[2-(4-chlorophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

$$C1$$
 O
 CH_2
 O
 CH_2
 O
 CH_2
 O
 CO_2H

RN 501362-50-1 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[3-[[5-methyl-2-(3-methylphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Me
$$CH_2-O-CH_2$$
 Me CO_2H

RN 501362-52-3 CAPLUS

CN Benzoic acid, 2-[[[3-[[2-(3,4-dimethylphenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Me
$$CH_2-O$$
 CH_2 Me CO_2H

RN 501362-53-4 CAPLUS

CN Benzoic acid, 2-[[[3-[[2-(2,4-dimethylphenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation, cyanolysis and PPAR activating activity of; preparation of oxazole

diaryl cycloalkyl derivs. and the use thereof as PPAR activators)

RN 501362-44-3 CAPLUS

CN Benzoic acid, 2-[[[3-[[2-(3-bromophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

$$\operatorname{Br}$$
 CH_2 O CH_2 CH_2 CO_2 He

IT 501362-64-7P 501362-78-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation, methanolysis and PPAR activating activity of; preparation of oxazole diaryl cycloalkyl derivs. and the use thereof as PPAR activators)

RN 501362-64-7 CAPLUS

CN Benzoic acid, 2-[[[(1S,3R)-3-[[2-(4-fluorophenyl)-4oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 501362-78-3 CAPLUS

CN Benzoic acid, 2-[[(1R,3S)-3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003:202470 CAPLUS

DOCUMENT NUMBER: 138:238169

TITLE: Method for producing diaryl cycloalkyl derivatives of

oxazole and the use thereof as PPAR activators

Glombik, Heiner; Falk, Eugen; Frick, Wendelin; Keil,

Stefanie; Schaefer, Hans-Ludwing; Schwink, Lothar;

Wendler, Wolfgang

PATENT ASSIGNEE(S):

Aventis Pharma Deutschland GmbH, Germany

SOURCE:

PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

German

2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003020269 /A1 20030313 WO 2002-EP9221 20020817 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG DE 10142734 **A1** 20030327 DE 2001-10142734 20010831 DE 10223273 A1 20031204 DE 2002-10223273 20020524 EE 200400059 Α 20040415 EE 2004-59 20020817 A1 20040609 EP 2002-797589 20020817 EP 1425014 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK BR 2002-12158 BR 2002012158 Α 20040713 20020817 ZA 2004001073 Α 20040826 ZA 2004-1073 20040210 PRIORITY APPLN. INFO.: DE 2001-10142734 A 20010831 DE 2002-10223273 A 20020524 WO 2002-EP9221 W 20020817

OTHER SOURCE(S):

MARPAT 138:238169

GI

II

Ι

AΒ The invention relates to diaryl cycloalkyl derivs. and their physiol. compatible salts and physiol. functional derivs. The invention also relates to oxazoles I [Z = C3-8-alkyl, C3-8-alkenyl (rings may contain 1 or more oxygens); R1, R2, R4, R5 = H, F, C1, Br, OH, NO2, CF3, OCF3, C1-6-alkyl, O-(C1-6-alkyl); R3 = H, C1-6-alkyl; X, Y = C1-6-alkyl (chains may contain 1 or more oxygens)] to their physiol. compatible salts and to a method for producing the same. Thus, (+)-cis-oxazole II was prepared from cyclohexane-1,3-diol via O-alkylation with 4-(Iodomethyl)-2-(4fluorophenyl)oxazole, separation of cis/trans isomers, HPLC resolution of the cis

isomers, and finally alkylation of the (-)-cis isomer with Me 2-(bromomethyl)-6-methylbenzoate. The compds. have lipid and/or triglyceride reducing properties and are suitable e.g. for treating lipid metabolic disorders, type II diabetes and syndrome X. The bioactivity of II was determined [EC50 = 0.3 nM vs. PPAR α].

IT 501362-02-3P 501362-03-4P 501362-06-7P 501362-09-0P 501362-12-5P 501362-15-8P 501362-16-9P 501362-21-6P 501362-27-2P 501362-28-3P 501362-29-4P 501362-30-7P 501362-31-8P 501362-38-5P 501362-39-6P 501362-43-2P 501362-45-4P 501362-46-5P 501362-47-6P 501362-48-7P 501362-49-8P 501362-50-1P 501362-52-3P 501362-53-4P 501362-54-5P 501362-55-6P 501362-58-9P 501362-59-0P 501362-60-3P 501362-61-4P

501362-62-5P 501362-65-8P 501362-67-0P

501362-70-5P 501362-73-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and PPAR activating activity of; preparation of oxazole diaryl cycloalkyl derivs. and the use thereof as PPAR activators)

501362-02-3 CAPLUS RN

CN

Benzoic acid, 2-[[[3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]cyclohexyl]ox y]methyl]-6-methyl- (9CI) (CA INDEX NAME)

RN 501362-03-4 CAPLUS

CN Benzoic acid, 2-[[[(1S,3R)-3-[[2-(4-methoxyphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501362-06-7 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1S,3R)-3-[(2-phenyl-4-oxazolyl)methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501362-09-0 CAPLUS

CN Benzoic acid, 2-methyl-6-[[[(1S,3R)-3-[[2-(4-methylphenyl)-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501362-12-5 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(4-fluorophenyl)-5-methyl-4-oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Br
$$CH_2-O$$
 CH_2 Me CO_2H

IT 501362-64-7P 501362-78-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation, methanolysis and PPAR activating activity of; preparation of oxazole diaryl cycloalkyl derivs. and the use thereof as PPAR activators)

RN 501362-64-7 CAPLUS

Benzoic acid, 2-[[[(1S,3R)-3-[[2-(4-fluorophenyl)-4-CN oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 501362-78-3 CAPLUS

CN Benzoic acid, 2-[[[(1R,3S)-3-[[2-(4-fluorophenyl)-4oxazolyl]methoxy]cyclohexyl]oxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

1

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION_NUMBER:

REFERENCE-COUNT:

2000:772613 CAPLUS

DOCUMENT NUMBER:

133:335164

TITLE: INVENTOR(S): Tri-aryl acid derivatives as PPAR receptor ligands Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.; Labaudiniere, Richard F.; Zhang, Litao; Caulfield,

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Thomas J.; Minnich, Anne; Bobko, Mark; Morris, Robert; Groneberg, Robert D.; Mcgarry, Daniel G.

PATENT ASSIGNEE(S):

Aventis Pharmaceuticals Products Inc., USA

SOURCE:

PCT Int. Appl., 251 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

T: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
WO 2000064876	A1 20001102	WO 2000-US11490	20000428		
,		BB, BG, BR, BY, CA,			
		GB, GD, GE, GH, GM,			
IN, IS, JP,	KE, KG, KP, KR,	KZ, LC, LK, LR, LS,	LT, LU, LV, MA,		
MD, MG, MK,	MN, MW, MX, NO,	NZ, PL, PT, RO, RU,	SD, SE, SG, SI,		
SK, SL, TJ,	TM, TR, TT, TZ,	UA, UG, US, UZ, VN,	YU, ZA, ZW, AM,		
AZ, BY, KG,	KZ, MD, RU, TJ,	TM			
		SZ, TZ, UG, ZW, AT,			
		IT, LU, MC, NL, PT,	SE, BF, BJ, CF,		
		MR, NE, SN, TD, TG			
		CA 2000-2371308			
EP 1177176	A1 20020206	EP 2000-930210	20000428		
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,		
IE, SI, LT,	LV, FI, RO				
BR 2000010126	A 20020226	BR 2000-10126	20000428		
EE 200100558	A 20021216	EE 2001-558	20000428		
NZ 515087	A 20031128	NZ 2000-515087	20000428		
ZA 2001008800	A 20030210	ZA 2001-8800	20011024		
NO 2001005226	A 20011205	NO 2001-5226	20011025		
HR 2001000793	A1 20030228	HR 2001-793	20011026		
PRIORITY APPLN. INFO.:		US 1999-131454P	P 19990428		
		WO 2000-US11490			
OTHER SOURCE(S):	MARPAT 133:3351	64			

$$Ar^{1} \xrightarrow{R^{1}} A \xrightarrow{R^{3}} Ar^{2} \xrightarrow{R^{5}} R^{7} \xrightarrow{R^{9}} Ar^{3} \xrightarrow{R^{1}} E - Z$$

$$R^{2} \xrightarrow{R^{1}} Ar^{2} \xrightarrow{R^{1}} R^{2} \xrightarrow{R^{$$

This invention is directed to triaryl acid derivs. I and their salts, N-oxides, hydrates, solvates, and pharmaceutical compns. [wherein: Arl, Ar2, Ar3 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocyclenyl, fused heteroarylcycloalkyl, fused heteroarylcycloalkemyl, fused heteroarylheterocyclenyl, or fused heteroarylheterocyclyl; A = bond, O, S, SO, SO2, CO, (un)substituted NH, NHCO, CONH, NHCONH, CH:N, etc.; B = bond, O, S, SO, SO2, C.tplbond.C, CO, (un)substituted NH, NHCO, or CONH; D = bond, O, S, C.tplbond.C, CO, (un)substituted NH, NHCO, or CONH; E = bond, CH2CH2; Z = (un)substituted CO2H, CHO, cyclo-imide, cyano, sulfonylaminocarbonyl, sulfonylamino, carbamoyl, tetrazolyl, etc.; R1, R3, R5, R7, R9, R11 = H, halo, alkyl, CO2H, alkoxycarbonyl, aralkyl; R2, R4, R6, R8, R10, R12 = (CH2)0-3X (where X = H or various substituents); n1 = 0-4; m1 = 0-4; m = 0-4; m = 0-5; p = 0-4; q = 0-6; with numerous

provisos]. The compds. are PPAR receptor ligands, useful as agonists or antagonists thereof (no data). For instance, 2,6-dimethylbenzoic acid underwent a sequence of: (1) Me esterification, (2) benzylic monobromination, (3) etherification with 3-(quinolin-2-ylmethoxy)phenol, and (4) alkaline hydrolysis with NaOH in aqueous EtOH, to give title compound

II. IT

303218-33-9P 303218-47-5P 303219-55-8P 303219-57-0P 303219-59-2P 303219-78-5P 303220-12-4P 303220-98-6P 303221-34-3P 303221-36-5P 303221-38-7P 303221-40-1P

303221-44-5P 303221-87-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tri-aryl acid derivs. as PPAR receptor ligands)

RN 303218-33-9 CAPLUS

CN Benzoic acid, 2-methyl-6-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

Ph
$$CH_2-CH_2-O$$
 $O-CH_2$ Me CO_2H

RN 303218-47-5 CAPLUS

CN Benzoic acid, 2-methyl-6-[[3-[(2-phenyl-4-oxazolyl)methoxy]phenoxy]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CO}_2\text{H} \\ \text{O} \\ \end{array}$$

RN 303219-55-8 CAPLUS

CN Benzoic acid, 2-[[3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]phenoxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

F
$$O-CH_2-O-CH_2$$
 $O-CH_2-O-CH_2$ $O-CH_2-O-CH_2$ $O-CH_2-O-CH_2$ $O-CH_2-O-CH_2$

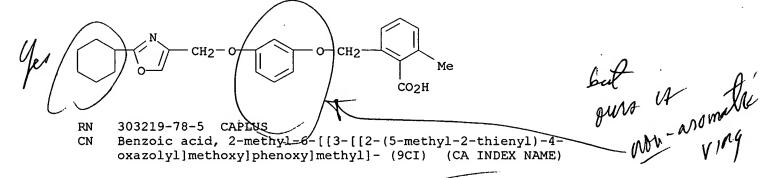
RN 303219-57-0 CAPLUS

CN Benzoic acid, 2-[[3-[[2-(3-fluorophenyl)-4-oxazolyl]methoxy]phenoxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)

$$_{\rm F}$$
 $_{\rm CH_2-O}$ $_{\rm CH_2-O}$ $_{\rm CO_2H}$ $_{\rm Me}$

RN 303219-59-2 CAPLUS

CN Benzoic acid, 2-[[3-[(2-cyclohexyl-4-oxazolyl)methoxy]phenoxy]methyl]-6-methyl- (9CI) (CA INDEX NAME)



Me
$$CH_2 - O$$
 CH_2 N S Me

RN 303220-12-4 CAPLUS / CN Benzoic acid 2-methyl-6-[[3-[(5-methyl-2-phenyl-4-

oxazolyl)methoxy]phenoxy]methyl]- (9CI) (CA INDEX NAME)

Ph
$$CH_2 - O$$
 $O - CH_2$ Me

RN 303220-98-6 CAPLUS

CN Benzoic acid, 2-methyl-6-[[3-[(2-phenyl-4-oxazolyl)methoxy]phenoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Ph
$$CH_2-O$$
 $O-CH_2$ $MeO-C$ O

RN 303221-34-3 CAPLUS

CN Benzoic acid, 2-methyl-6-[[3-[[2-(5-methyl-2-thienyl)-4-oxazolyl]methoxy]phenoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Me OMe

RN 303221-38-7 CAPLUS

CN Benzoic acid, 2-[[3-[[2-(3-fluorophenyl)-4-oxazolyl]methoxy]phenoxy]methyl]-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 303221-40-1 CAPLUS

CN Benzoic acid, 2-[[3-[[2-(4-fluorophenyl)-4-oxazolyl]methoxy]phenoxy]methyl]-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

F
$$CH_2-O$$
 CH_2 $C-OMe$ $C-OMe$

RN 303221-44-5 CAPLUS

CN Benzoic acid, 2-methyl-6-[[3-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenoxy]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 303221-87-6 CAPLUS

Benzoic acid, 2-methyl-6-[[3-[2-(5-methyl-2-phenyl-4-CN oxazolyl)ethoxy]phenoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Ph
$$CH_2-CH_2-O$$
 $O-CH_2$ $MeO-C$ $MeO-C$

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1994:54537 CAPLUS

DOCUMENT NUMBER:

120:54537

TITLE:

Preparation of 4-(phenoxyalkyl)-2-oxazolines as

acaricides and insecticides

INVENTOR(S):

Hirose, Taro; Kisida, Hirosi; Saito, Shigeru;

Fujimoto, Hiroaki

PATENT ASSIGNEE(S):

Sumitomo Chemical Co., Ltd., Japan

SOURCE:

Eur. Pat. Appl., 53 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

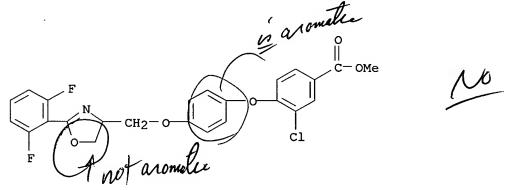
FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 553623	A1	19930804	EP 1993-100223	19930108
EP 553623	B1	20010404		
R: CH, DE, ES,	FR, GB	, IT, LI		
AU 9230491	A1	19930729	AU 1992-30491	19921231
AU 658955	B2	19950504		
ES 2155442	Т3	20010516	ES 1993-100223	19930108
BR 9300299	Α	19930803	BR 1993-299	19930127
JP_0 5271 206	A2	19931019	JP 1993-11698	19930127
ǿP 3239508 ∖	B2	20011217		
(US 5411979 ⁻)	Α	19950502	US 1993-10015	19930127
PRIORITY ARPLN. INFO.:			JP 1992-12967 A	19920128
OTHER SOURCE (S):	MARPAT	120:54537		
GI				

$$R^4$$
 CHR 3 O R^2 p

- AB Title compds. [I; H, halo, (halo)alkyl, alkoxy, etc.; R2 = H, halo, alkyl, alkoxy, alkylthio; R3 = H, Me; R4 = (substituted) Ph; p = 1-4] were prepared Thus, 4-(Me3C)C6H4OH was condensed with BrCH2CH(OMe)2 and the product converted in 4 steps to 4-(Me3C)C6H4OCH2CH(NH2)CH2OH which was cyclocondensed with 2,6-F2C6H2COCl to give I (R1 = CMe3, R2 = R3 = H, R4 = C6H3F2-2,6) which gave ≥90% control of Culex pipiens pallens larvae in H2O containing 3.5 ppm.
- RN 151856-99-4 CAPLUS
 CN Benzoic acid, 3-chloro-4-[4-[[2-(2,6-difluorophenyl)-4,5-dihydro-4-oxazolyl]methoxy]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



Ι

=> fil beilstein		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	39.08	200.62
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-5.11	-5.11

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FILE RELOADED ON OCTOBER 20, 2002 FILE LAST UPDATED ON February 14, 2005

FILE COVERS 1771 TO 2004.
*** FILE CONTAINS 9,133,317 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search

for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

- * PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.
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- * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE

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- * FOR PRICE INFORMATION SEE HELP COST

NEW

- * PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

=> d his

(FILE 'HOME' ENTERED AT 18:56:24 ON 13 APR 2005)

FILE 'REGISTRY' ENTERED AT 18:56:39 ON 13 APR 2005

L1 STRUCTURE UPLOADED

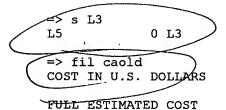
L2 3 S L1

L3 115 S L1 FULL

FILE 'CAPLUS' ENTERED AT 18:57:11 ON 13 APR 2005

L4 7 S L3

FILE 'BEILSTEIN' ENTERED AT 19:03:22 ON 13 APR 2005



SINCE FILE TOTAL
ENTRY SESSION
2.36 202.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

0.00 -5.11

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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

•

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s L3

 L6

0 L3

=> fil qmelin

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 0.43 203.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

0.00 -5.11

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FILE LAST UPDATED: 03 MAY 97 - 21 MAY 97 <970503/UP -970521/UP>

>>> CAS REGISTRY NUMBERS FOR 171,499 SUBSTANCES AVAILABLE <<<

>>> FILE CONTAINS 1,070,350 SUBSTANCES <<<

>>> PLEASE NOTE THAT AFTER A SEARCH IN SSTA FIELDS DIS QRD OR DIS HIT CAN BE VERY LENGTHY. <<<

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=> s L3

L7

0 F3

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

ENTRY
SESSION
SINCE FILE
ENTRY
SESSION
0.00
-5.11

SINCE FILE

TOTAL

FILE 'CASREACT' ENTERED AT 19:04:11 ON 13 APR 2005 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE CONTENT:1840 - 10 Apr 2005 VOL 142 ISS 15

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

s L3

L8

0 L3

=> fil caplus

COST-IN-U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 27.68 234.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL **ENTRY** SESSION

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FILE COVERS 1907 - 13 Apr 2005 VOL 142 ISS 16 FILE LAST UPDATED: 12 Apr 2005 (20050412/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> log yCOST IN U.S. DOLLARS SINCE FILE TOTAL **ENTRY** SESSION FULL ESTIMATED COST 0.45 234.58 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -5.11

STN INTERNATIONAL LOGOFF AT 19:04:41 ON 13 APR 2005